

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization  
International Bureau



(43) International Publication Date  
28 October 2004 (28.10.2004)

PCT

(10) International Publication Number  
WO 2004/091669 A1

(51) International Patent Classification<sup>7</sup>: A61K 51/04, (74) Agents: BOONE, Jeffrey, S. et al.; 675 McDonnell Boulevard, P.O. Box 5840, St. Louis, MO 63134 (US).

(21) International Application Number:  
PCT/US2004/011685

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KB, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date: 15 April 2004 (15.04.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
03076106.8 15 April 2003 (15.04.2003) EP  
03078217.1 10 October 2003 (10.10.2003) EP

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (for all designated States except US): MALLINCKRODT INC. [US/US]; 675 McDonnell Boulevard, P.O. Box 5840, St. Louis, MO 63134 (US).

(72) Inventors; and

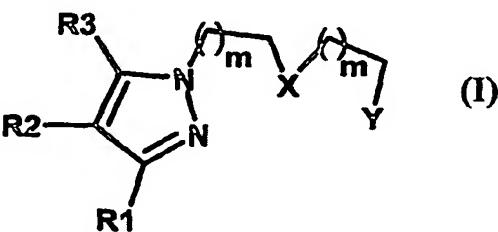
(75) Inventors/Applicants (for US only): SANTOS, Isabel, R. [PT/PT]; Rua Cidade Benguela, Lote 257, 6 Dto, P-1800 Lisboa (PT), GALAMBA CORREIA, Joao, D. [PT/PT]; Avenida Miguel Torga N 6, 3 C, P-1070-373 Lisboa (PT). ROCHA PAULO, Antonio, M. [PT/PT]; Rua Actor Isidoro N 31, 2 Esq, P-1900 Lisboa (PT). ALVES, Susana [PT/PT]; Rua Dr Alberto De Araujo, N 14 - 5Dto, P-2800-310 Almada (PT). VITOR, Rute [PT/PT]; Rua Do Castelo N 6, Cv, Esq Pirescoxe, P-2685 Santa Iria Da Azoia (PT).

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BIFUNCTIONAL TRIDENTATE PYRAZOLYL CONTAINING LIGANDS FOR RE AND TC TRICARBONYL COMPLEXES



(57) Abstract: The present invention relates to a chelating agent of the general formula: (I), wherein m is 0 or 1; X is NR<sub>4</sub> or S; Y is SR<sub>5</sub>, NHR<sub>3</sub>, or P(R<sub>3</sub>)<sub>2</sub>; R<sub>1</sub> and R<sub>3</sub> are the same or different and are selected from H, alkyl or aryl; R<sub>2</sub> is H, COOH, NHR<sub>6</sub> or (CH<sub>2</sub>)<sub>n</sub>COOR<sub>6</sub>; R<sub>4</sub> is H, alkyl, aryl, (CH<sub>2</sub>)<sub>n</sub>COOR<sub>6</sub> or (CH<sub>2</sub>)<sub>n</sub>OR<sub>6</sub>; R<sub>5</sub> is H, alkyl, aryl, (CH<sub>2</sub>)<sub>n</sub>COOR<sub>6</sub> or (CH<sub>2</sub>)<sub>n</sub>OR<sub>6</sub>, R<sub>6</sub> is H, alkyl or aryl; n is 1, 2, 3, 4, 5, 6, 7, 8, 9 or 10; and when R<sub>1</sub>=R<sub>3</sub>=CH<sub>3</sub>, R<sub>2</sub>, R<sub>4</sub> and R<sub>5</sub> are not all three H. The invention further relates to a method and kit for the preparation of radiolabeled biomolecules while using the chelating agent.